Inventor Search

=> d ibib abs ind l10 1-7

L10 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:575100 HCAPLUS
DOCUMENT NUMBER: 137:145578
TITLE: Methods for preparing purified **lipopeptides**
INVENTOR(S): **Keith, Dennis; Lai, Jan-Ji**
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059145	A1	20020801	WO 2001-US48886	20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1343811	A1	20030917	EP 2001-994272	20011217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525108	T2	20040819	JP 2002-559447	20011217
US 2002111311	A1	20020815	US 2001-24405	20011218
WO 2002096936	A2	20021205	WO 2001-US49167	20011218
WO 2002096936	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1383794	A2	20040128	EP 2001-270136	20011218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:		
US 2000-256268P	P	20001218
US 2001-274741P	P	20010309
US 2001-340525P	P	20011213
US 2001-341315P	P	20011213
WO 2001-US48886	W	20011217
WO 2001-US49167	W	20011218

AB The present invention relates to crystalline and crystal-like forms of **lipopeptides**, including daptomycin, a **lipopeptide** antibiotic with potent bactericidal activity against gram-pos. bacteria, including strains that are resistant to conventional antibiotics. The present invention relates to methods of purifying **lipopeptides**, including daptomycin, a **lipopeptide** antibiotic with potent bactericidal activity against gram-pos. bacteria, including strains that are resistant to conventional antibiotics. The present invention also

relates to pharmaceutical compns. comprising the purified form of the **lipopeptide** and methods of using these compns.

IC C07K007-64; C07K014-36

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 16, 62

ST **lipopeptide** daptomycin fermn purifn crystn antibacterial agent antibiotics

IT Drug delivery systems
(aerosols; methods for preparing purified **lipopeptides**)

IT Drug delivery systems
(enteric-coated; methods for preparing purified **lipopeptides**)

IT Feed
(**lipopeptide**-containing; methods for preparing purified **lipopeptides**)

IT Amorphous materials
Antibacterial agents
Antibiotics
Antiperspirants
Cosmetics
Crystal structure
Crystallization
Fermentation
Precipitation (chemical)
Shampoos
Streptomyces roseosporus
pH
(methods for preparing purified **lipopeptides**)

IT **Lipopeptides**
RL: BPN (Biosynthetic preparation); COS (Cosmetic use); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(methods for preparing purified **lipopeptides**)

IT Soaps
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(methods for preparing purified **lipopeptides**)

IT Polyoxyalkylenes, biological studies
RL: COS (Cosmetic use); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(methods for preparing purified **lipopeptides**)

IT Drug delivery systems
(microspheres; methods for preparing purified **lipopeptides**)

IT Drug delivery systems
(oral; methods for preparing purified **lipopeptides**)

IT 103060-53-3P, Daptomycin
RL: BPN (Biosynthetic preparation); COS (Cosmetic use); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(methods for preparing purified **lipopeptides**)

IT 25322-68-3, Polyethylene glycol 345311-83-3, CB 131547
RL: COS (Cosmetic use); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(methods for preparing purified **lipopeptides**)

IT 188793-60-4, Antibiotic A 54145
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods for preparing purified **lipopeptides**)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:555304 HCAPLUS
DOCUMENT NUMBER: 137:94012
TITLE: Methods for preparing purified daptomycin
INVENTOR(S): **Keith, Dennis**; Govardhan, Chandrika; **Khalaf, Nazer**
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; Altus Biologics Inc.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056829	A2	20020725	WO 2001-US48887	20011217
WO 2002056829	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003045678	A1	20030306	US 2001-23517	20011217
US 2003045484	A1	20030306	US 2001-24701	20011217
EP 1383794	A2	20040128	EP 2001-270136	20011218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:

US 2000-256268P	P	20001218
US 2001-274741P	P	20010309
US 2001-340525P	P	20011213
US 2001-341315P	P	20011213
WO 2001-US49167	W	20011218

AB The invention relates to methods of providing crystalline and crystal-like forms of daptomycin, a **lipopeptide** antibiotic with potent bactericidal activity against gram-pos. bacteria, including strains that are resistant to conventional antibiotics. The purification of daptomycin comprises the steps of providing an amorphous form of daptomycin and crystallizing the daptomycin from a crystallization solution comprising a cation from a salt, a buffer, an organic precipitant, and a low mol. weight alc. Daptomycin is available from a fermentation culture of S. roseosporus. Thus, daptomycin (200 mg, 97.1 % pure) was dissolved in 2.54 mL water and the solution sequentially mixed in order with 10.0 mL methanol, 0.78 mL 1 M calcium acetate (pH 6.0), 9.50 mL propylene glycol and 2.20 mL 50 % (w/v) PEG 4000 to give a final volume of 25.02 mL. The mixture was tumbled at room temperature for 10-14 h in a hematol. mixer (Fischer) to form daptomycin crystals which were urchin-like and had a purity of 98.37 % .

IC A61K
CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 10, 16, 75
ST daptomycin cryst purifn
IT Birefringence
Powder x-ray diffractometry
(methods for preparing purified crystalline daptomycin)
IT Polyoxyalkylenes, uses
RL: NUU (Other use, unclassified); USES (Uses)
(methods for preparing purified crystalline daptomycin)
IT Photography
(photomicrog.; methods for preparing purified crystalline daptomycin)
IT 103060-53-3P, Daptomycin
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(methods for preparing purified crystalline daptomycin)
IT 56-81-5, Glycerol, uses 57-55-6, Propylene glycol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 75-65-0, tert-Butyl alcohol, uses 107-21-1, Ethylene glycol, uses 110-63-4, 1,4-Butanediol, uses 9004-74-4, Polyethylene glycol monomethyl ether 25322-68-3, Polyethylene glycol
RL: NUU (Other use, unclassified); USES (Uses)
(methods for preparing purified crystalline daptomycin)

L10 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:935443 HCAPLUS
DOCUMENT NUMBER: 136:58849
TITLE: Compositions and methods to improve the oral absorption of antimicrobial agents
INVENTOR(S): Choi, Seung-Ho; Lee, Jeoung-Soo; **Keith, Dennis**
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; International Health Management Associates, Inc.; University of Utah Research Foundation
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097851	A2	20011227	WO 2001-US19625	20010618
WO 2001097851	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248360	B1	20010619	US 2000-598089	20000621
EP 1294361	A2	20030326	EP 2001-944619	20010618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012393	A	20030708	BR 2001-12393	20010618
JP 2003535911	T2	20031202	JP 2002-503335	20010618
US 2003039956	A1	20030227	US 2001-888114	20010622
PRIORITY APPLN. INFO.:			US 2000-598089 A	20000621
			US 2001-829405 A	20010409

US 2001-283976P P 20010416
WO 2001-US19625 W 20010618

AB The present invention provides compns. and methods for increasing absorption of antibacterial agents, particularly third generation cephalosporin antibacterial agents, in oral dosage solid and/or suspension forms. Specifically, the composition is comprised of a biopolymer that is preferably swellable and/or mucoadhesive, an antimicrobial agent, and a cationic binding agent contained within the biopolymer such that the binding agent is ionically bound or complexed to at least one member selected from the group consisting of the biopolymer and the antimicrobial agent. A solution of 44.5 mg calcium chloride in 10 mL water and 1.0 g of ceftriaxone in 10 mL water was added gradually to a solution of 400 mg carrageenan and the dispersion was centrifuged and the supernatant was lyophilized. The resulting composition comprized carrageenan 27.7, ceftriaxone 1, and calcium chloride 3.1%. Plasma concentration of different antimicrobial-biopolymer complexes after oral administration to rats was measured.

IC ICM A61K047-00
CC 63-6 (Pharmaceuticals)
ST oral absorption antimicrobial biopolymer conjugate pharmaceutical
IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C12-18; compns. and methods to improve oral absorption of antimicrobial agents)
IT Quaternary ammonium compounds, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(alkylbenzyldimethyl, chlorides, conjugates with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)
IT Glycosides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino, conjugates with biopolymers and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)
IT Amino acids, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(basic, conjugates with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)
IT Drug delivery systems
(capsules; compns. and methods to improve oral absorption of antimicrobial agents)
IT Polyelectrolytes
(cationic, conjugates with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)
IT Absorption
Antimicrobial agents
(compns. and methods to improve oral absorption of antimicrobial agents)
IT Biopolymers
Glycerides, biological studies
Lipids, biological studies
Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

IT Cations
(conjugates with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)
IT Acrylic polymers, biological studies
Clathrates
Fatty acids, biological studies
Polyoxyalkylenes, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates with antimicrobials and cationic binding agent; compns. and methods to improve oral absorption of antimicrobial agents)
IT Quaternary ammonium compounds, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates with biopolymers and antimicrobial agents; compns. and methods to improve oral absorption of antimicrobial agents)
IT Glycopeptides
Lipopeptides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates with biopolymers and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)
IT Polysaccharides, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates, with antimicrobials and cationic binding agent; compns. and methods to improve oral absorption of antimicrobial agents)
IT Drug delivery systems
(liposomes; compns. and methods to improve oral absorption of antimicrobial agents)
IT Adhesives
(muco-; compns. and methods to improve oral absorption of antimicrobial agents)
IT Drug delivery systems
(oral; compns. and methods to improve oral absorption of antimicrobial agents)
IT Drug delivery systems
(tablets; compns. and methods to improve oral absorption of antimicrobial agents)
IT Lactams
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(β-, monocyclic, conjugates with biopolymers and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)
IT 56-87-1DP, Lysine, conjugates with antimicrobial agents and biopolymers 57-55-6DP, Propylene glycol, conjugates with antimicrobials and cationic binding agent 57-92-1DP, Streptomycin, conjugates with biopolymers and cationic binding agents 71-00-1DP, Histidine, conjugates with antimicrobial agents and biopolymers 74-79-3DP, Arginine, conjugates with antimicrobial agents and biopolymers 112-00-5DP, Dodecyl trimethyl ammonium chloride, conjugates with antimicrobial agents and biopolymers 112-02-7DP, Cetyl trimethyl ammonium chloride, conjugates with antimicrobial agents and biopolymers 123-03-5DP, Cetyl pyridinium chloride, conjugates with antimicrobial agents and biopolymers

1119-94-4DP, Dodecyl trimethyl ammonium bromide, conjugates with antimicrobial agents and biopolymers 1398-61-4DP, Chitin, conjugates with antimicrobials and cationic binding agent 1403-66-3DP, Gentamycin, conjugates with biopolymers and cationic binding agents 1404-26-8DP, Polymyxin B, conjugates with biopolymers and cationic binding agents 1404-90-6DP, Vancomycin, conjugates with biopolymers and cationic binding agents 1406-05-9DP, Penicillin, conjugates with biopolymers and cationic binding agents 7429-90-5DP, Aluminum, conjugates with biopolymers and antimicrobial agents 7439-89-6DP, Iron, conjugates with biopolymers and antimicrobial agents 7439-93-2DP, Lithium, conjugates with biopolymers and antimicrobial agents 7439-95-4DP, Magnesium, conjugates with biopolymers and antimicrobial agents 7439-96-5DP, Manganese, conjugates with biopolymers and antimicrobial agents 7440-02-0DP, Nickel, conjugates with biopolymers and antimicrobial agents 7440-47-3DP, Chromium, conjugates with biopolymers and antimicrobial agents 7440-48-4DP, Cobalt, conjugates with biopolymers and antimicrobial agents 7440-50-8DP, Copper, conjugates with biopolymers and antimicrobial agents 7440-66-6DP, Zinc, conjugates with biopolymers and antimicrobial agents 7440-70-2DP, Calcium, conjugates with biopolymers and antimicrobial agents 9000-07-1DP, Carrageenan, conjugates with antimicrobials and cationic binding agent 9002-98-6DP, conjugates with antimicrobial agents and biopolymers 9004-32-4DP, Carboxymethyl cellulose, conjugates with antimicrobials and cationic binding agent 9005-38-3DP, Sodium alginate, conjugates with antimicrobials and cationic binding agent 9007-28-7DP, Chondroitin sulfate, conjugates with antimicrobials and cationic binding agent 9012-76-4DP, Chitosan, conjugates with antimicrobials and cationic binding agent 9014-63-5DP, Xylan, conjugates with antimicrobials and cationic binding agent 9073-60-3DP, β-Lactamase, conjugates with biopolymers and cationic binding agents 10043-52-4DP, Calcium chloride, conjugates with antimicrobials and biopolymers 11111-12-9DP, Cephalosporin, conjugates with biopolymers and cationic binding agents 12619-70-4DP, Cyclodextrin, conjugates with antimicrobials and cationic binding agent 24937-47-1DP, Poly L-arginine, conjugates with antimicrobial agents and biopolymers 25104-18-1DP, Poly L-lysine, conjugates with antimicrobial agents and biopolymers 25212-18-4DP, Poly L-arginine, conjugates with antimicrobial agents and biopolymers 25322-68-3DP, Polyethylene glycol, conjugates with antimicrobials and cationic binding agent 25702-75-4DP, conjugates with antimicrobials and cationic binding agent 26023-30-3DP, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)], conjugates with antimicrobials and cationic binding agent 26100-51-6DP, Polylactic acid, conjugates with antimicrobials and cationic binding agent 26787-78-0DP, Amoxicillin, conjugates with biopolymers and cationic binding agents 26913-06-4DP, Poly[imino(1,2-ethanediyl)], conjugates with antimicrobial agents and biopolymers 30551-89-4DP, Polyallylamine, conjugates with antimicrobial agents and biopolymers 32986-56-4DP, Tobramycin, conjugates with biopolymers and cationic binding agents 37517-28-5DP, Amikacin, conjugates with biopolymers and cationic binding agents 38000-06-5DP, Poly L-lysine, conjugates with antimicrobial agents and biopolymers 51667-26-6DP, Oxazolidinone, conjugates with biopolymers and cationic binding agents 61477-96-1DP, Piperacillin, conjugates with biopolymers and cationic binding agents 62893-19-0DP, Cefoperazone, conjugates with biopolymers and cationic binding agents 63527-52-6DP, Cefotaxime, conjugates with biopolymers and cationic binding agents 64221-86-9DP, Imipenem, conjugates with biopolymers and cationic binding agents 65085-01-0DP, Cefmenoxime, conjugates with biopolymers and cationic binding agents 68401-81-0DP, Ceftizoxime, conjugates with biopolymers and cationic binding agents 72558-82-8DP, Ceftazidime, conjugates with biopolymers and cationic binding agents 73384-59-5DP, Ceftriaxone, conjugates with biopolymers and cationic binding agents 78110-38-0DP, Aztreonam, conjugates with

biopolymers and cationic binding agents 79350-37-1DP, Cefixime, conjugates with biopolymers and cationic binding agents 80210-62-4DP, Cefpodoxime, conjugates with biopolymers and cationic binding agents 80370-57-6DP, Ceftiofur, conjugates with biopolymers and cationic binding agents 83200-96-8DP, Carbapenem, conjugates with biopolymers and cationic binding agents 84957-29-9DP, Cefpirome, conjugates with biopolymers and cationic binding agents 87638-04-8DP, Carumonam, conjugates with biopolymers and cationic binding agents 88040-23-7DP, Cefepime, conjugates with biopolymers and cationic binding agents 96036-03-2DP, Meropenem, conjugates with biopolymers and cationic binding agents 103060-53-3DP, Daptomycin, conjugates with biopolymers and cationic binding agents 105239-91-6DP, Cefclidin, conjugates with biopolymers and cationic binding agents 113359-04-9DP, Cefozopran, conjugates with biopolymers and cationic binding agents 153773-82-1DP, Mk0826, conjugates with biopolymers and cationic binding agents 171099-57-3DP, Oritavancin, conjugates with biopolymers and cationic binding agents 171500-79-1DP, Dalbavancin, conjugates with biopolymers and cationic binding agents 222400-20-6DP, R 115685, conjugates with biopolymers and cationic binding agents 228267-11-6DP, J 114870, conjugates with biopolymers and cationic binding agents 352305-79-4DP, CP 5068, conjugates with biopolymers and cationic binding agents
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

IT 57-10-3, Palmitic acid, biological studies 57-11-4, Stearic acid, biological studies 112-80-1, Oleic acid, biological studies 124-07-2, Caprylic acid, biological studies 334-48-5, Capric acid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

IT 9000-69-5P, Pectin
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(conjugates with antimicrobial and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)

L10 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:545723 HCAPLUS
DOCUMENT NUMBER: 135:142230
TITLE: High purity **lipopeptides**, **lipopeptide** micelles and processes for preparing same
INVENTOR(S): Kelleher, Thomas J.; **Lai, Jan-ji**; Decourcey, Joseph P.; Lynch, Paul D.; Zenoni, Maurizio; Tagliani, Auro R.
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053330	A2	20010726	WO 2001-US1748	20010118
WO 2001053330	A3	20020418		

WO 2001053330 C2 20021017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6696412	B1	20040224	US 2000-735191	20001128
BR 2001007731	A	20021001	BR 2001-7731	20010118
EP 1252179	A2	20021030	EP 2001-903121	20010118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003520807	T2	20030708	JP 2001-553802	20010118
NZ 520324	A	20040625	NZ 2001-520324	20010118
ZA 2002005763	A	20031127	ZA 2002-5763	20020718
NO 2002003476	A	20020920	NO 2002-3476	20020719

PRIORITY APPLN. INFO.: US 2000-177170P P 20000120
US 2000-735191 A 20001128
WO 2001-US1748 W 20010118

AB The invention discloses highly purified daptomycin and to pharmaceutical compns. comprising this compound The invention discloses a method of purifying daptomycin comprising the sequential steps of anion exchange chromatog., hydrophobic interaction chromatog. and anion exchange chromatog. The invention also discloses a method of purifying daptomycin by modified buffer enhanced anion exchange chromatog. An improved method for producing daptomycin by fermentation of Streptomyces roseosporus is described. The invention also discloses HPLC methods for anal. of daptomycin purity. Methods of using **lipopeptide** micelles for purifying **lipopeptide** antibiotics, such as daptomycin, and using them therapeutically are disclosed. Thus, daptomycin was produced in a fermentation culture of S. roseosporus and partially purified daptomycin (9.9 Kg) was purified by microfiltration from 5500 L of fermentation broth. The partially purified daptomycin was further purified and resulted in a bulk daptomycin preparation with a purity of 91%. The daptomycin preparation contained 14 impurities as determined by HPLC anal. The daptomycin preparation was applied to a Poros P150 anion exchange resin (PE Biosystems) in Tris buffer pH 7.0 containing 6M urea and allowed to bind to the resin. The resin was washed with 3 column vols. of buffer prior to initiation of a NaCl gradient in the same buffer. Alternatively, the contaminants can be effectively removed from the column with a fixed salt level of 30 mM NaCl. The elution of purified daptomycin from the resin occurred at approx. 300 mM NaCl during a 0 to 1000 mM NaCl gradient. Daptomycin eluted from the column was greater than 99% pure as measured by the "first" HPLC method. The purified daptomycin contained only one detectable daptomycin contaminant. Anhydrodaptomycin and B-isomer were undetectable (<0.01% contamination). The level of the unidentified contaminant was 0.1-0.5%.

IC ICM C07K007-00

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 16, 64

ST **lipopeptide** micelle antimicrobial; daptomycin micelle antimicrobial; purifn **lipopeptide**

IT Antibiotics
(aminoglycoside; purification of **lipopeptides** and **lipopeptide** micelles)

IT Nutrients

(anti-; purification of **lipopeptides** and **lipopeptide** micelles)

IT Polyenes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotics; purification of **lipopeptides** and **lipopeptide** micelles)

IT Antibiotics
(glycopeptide; purification of **lipopeptides** and **lipopeptide** micelles)

IT Antibiotics
(glycylcyclines; purification of **lipopeptides** and **lipopeptide** micelles)

IT Antibiotics
(macrolide; purification of **lipopeptides** and **lipopeptide** micelles)

IT Antibiotics
(peptide, aureobasidins; purification of **lipopeptides** and **lipopeptide** micelles)

IT Animal tissue culture
Anion exchange chromatography
Antibacterial agents
Fungicides
Streptomyces roseosporus
(purification of **lipopeptides** and **lipopeptide** micelles)

IT **Lipopeptides**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(purification of **lipopeptides** and **lipopeptide** micelles)

IT Antibiotics
(quinolone; purification of **lipopeptides** and **lipopeptide** micelles)

IT 103060-52-2 121869-35-0 123180-72-3 351499-27-9 351499-28-0
351499-29-1 351499-30-4 351499-33-7 351499-35-9 351499-36-0
RL: ANT (Analyte); POL (Pollutant); ANST (Analytical study); OCCU (Occurrence)
(purification of **lipopeptides** and **lipopeptide** micelles)

IT 103060-53-3P, Daptomycin
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(purification of **lipopeptides** and **lipopeptide** micelles)

IT 54-85-3, Isoniazid 56-75-7, Chloramphenicol 58-14-0, Pyrimethamine 60-54-8, Tetracycline 65-49-6, Paraaminosalicylic acid 68-41-7, Cycloserine 74-55-5, Ethambutol 98-96-4, Pyrazinamide 104-06-3, Thiacetazone 154-21-2, Lincomycin 303-81-1, Novobiocin 443-48-1, Metronidazole 536-33-4, Ethionamide 738-70-5, Trimethoprim 751-94-0, Fusidate sodium 1400-61-9, Nystatin 1403-66-3, Gentamicin 1404-90-6, Vancomycin 1405-87-4, Bacitracin 1405-97-6, Gramicidin 1406-05-9, Penicillin 1406-11-7, Polymyxin 1695-77-8, Spectinomycin 2022-85-7, Flucytosine 5714-73-8, Methenamine hippurate 6998-60-3, Rifamycin 7681-93-8, Pimaricin 11003-38-6, Capreomycin 11006-76-1, Streptogramin 11076-17-8, Sordarin 11111-12-9, Cephalosporin 12633-72-6, Amphotericin 12650-69-0, Mupirocin 14222-60-7, Prothionamide 15318-45-3, Thiamphenicol 18323-44-9, Clindamycin 23155-02-4, Fosfomycin 32988-50-4, Viomycin 37517-28-5, Amikacin 51667-26-6, Oxazolidinone 56391-56-1, Netilmicin 61036-62-2, Teicoplanin 64221-86-9, Imipenem 65243-33-6 65277-42-1, Ketoconazole 65472-88-0, Naftifine 73090-70-7, Epiroprim 73384-59-5, Ceftriaxone 78110-38-0, Aztreonam 82800-75-7, Antibiotic A 21978 83200-96-8, Carbapenem 84625-61-6, Itraconazole 84957-29-9, Cefpirome 86386-73-4, Fluconazole 87638-04-8, Carumonam 91161-71-6, Terbinafine 99376-22-4

109545-84-8, Ziracin 111452-88-1, K130 113359-04-9, Cefozopran 116853-25-9, Cefluprenam 120410-24-4, Biapenem 120788-07-0, Sulopenem 122672-46-2, Cispentacin 122841-10-5, Cefoselis 124412-57-3, Dynemicin A 126602-89-9, Synercid 128104-18-7, Mersacidin 129791-92-0, Rifalazil 129951-17-3, DU 6681 133686-28-9, KP 736 138126-04-2, BO 2502A 139637-11-9, PR 39 141611-76-9, Sanfetrinem sodium 141646-08-4, Sanfetrinem cilexetil 143158-16-1, PD 138312 143383-20-4, PD 140248 145078-62-2, MerWF3010 145260-69-1, CP 111905 147214-63-9, Cyclothialidine 149137-72-4, DX8739 149951-16-6, Lenapenem 154445-06-4, CL 331002 157542-49-9, CS-834 157998-96-4, Azoxybacilin 158295-97-7, TOC 39 161856-02-6, OCA-983 171099-57-3, LY 333328 176950-36-0, Micacocidin A 180462-26-4, Arthrichitin 180992-28-3, Khafrefungin 185377-91-7, LL 15G256γ 186319-97-1, ER 35786 188793-60-4, Antibiotic A 54145 191114-48-4, HMR 3647 194804-75-6, T 3811 195874-55-6, MEN 10700 199169-60-3, Corynecandin 205925-96-8, Sch 40832 224452-66-8, SB-275833 252188-71-9, Ro 65-5788 345631-66-5, Eveminomycin 345631-70-1, KA 159 345631-86-9, GV-143253 345631-92-7, A-99058.1 345631-93-8, A-165600 345631-94-9, A-179796 345631-96-1, HGP-31 345631-97-2, RU-59863 345631-98-3, Kosan 345631-99-4, AM 1732 345632-00-0, NE-153O 345632-01-1, OPC 20000 345632-02-2, OPC 2045 345632-44-2, Veneprim 345632-48-6, SEP-132613 345632-68-0, SR-15402 345632-69-1, SUN A0026 351496-61-2, LY 33328 351496-93-0, HMR 364

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(purification of **lipopeptides** and **lipopeptide** micelles)

IT 13721-01-2D, derivs., antibiotics

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quinolone antibiotics; purification of **lipopeptides** and **lipopeptide** micelles)

L10 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:453092 HCAPLUS
DOCUMENT NUMBER: 135:61555
TITLE: Preparation of **lipopeptides** as antibacterial agents
INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; **Keith, Dennis**; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; et al.
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044274	A1	20010621	WO 2000-US34205	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016467	A	20020827	BR 2000-16467	20001215

EP 1246838	A1	20021009	EP 2000-991867		20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003517480	T2	20030527	JP 2001-544763		20001215
US 2004067878	A1	20040408	US 2000-737908		20001215
NO 2002002887	A	20020812	NO 2002-2887		20020617
ZA 2002005108	A	20031117	ZA 2002-5108		20020625
PRIORITY APPLN. INFO.:			US 1999-170946P	P	19991215
			US 2000-208222P	P	20000530
			WO 2000-US34205	W	20001215

OTHER SOURCE(S): MARPAT 135:61555
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB **Lipopeptides** I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) ≤ 1 μg/mL.

IC ICM C07K007-08
ICS C12R001-465

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 10

ST **lipopeptide** prepn antibacterial

IT Antibiotics
(glycylcylclines; preparation of **lipopeptides** as antibacterial agents)

IT Antibacterial agents
Antimicrobial agents
Enterococcus faecalis
Staphylococcus aureus
(preparation of **lipopeptides** as antibacterial agents)

IT **Lipopeptides**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 345645-46-7P 345645-49-0P 345645-88-7P
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 345311-15-1P 345311-16-2P 345311-19-5P 345311-20-8P 345311-21-9P
345311-22-0P 345311-23-1P 345311-24-2P 345311-25-3P 345311-28-6P
345311-29-7P 345311-30-0P 345311-31-1P 345311-32-2P 345311-33-3P
345311-34-4P 345311-35-5P 345311-36-6P 345311-49-1P 345311-50-4P
345311-51-5P 345311-52-6P 345311-53-7P 345311-54-8P 345311-55-9P
345311-56-0P 345311-57-1P 345311-58-2P 345311-62-8P 345311-70-8P
345311-71-9P 345643-95-0P 345644-10-2P 345644-22-6P 345645-15-0P
345645-16-1P 345645-17-2P 345645-45-6P 345645-47-8P 345645-48-9P
345645-51-4P 345645-52-5P 345645-53-6P 345645-80-9P 345645-81-0P
345645-82-1P 345645-83-2P 345645-84-3P 345645-85-4P 345645-86-5P
345645-87-6P 345645-89-8P 345645-90-1P 345646-09-5P 345646-10-8P
345646-11-9P 345646-12-0P 345646-13-1P 345646-14-2P 345646-15-3P
345646-21-1P
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 345311-92-4P 345643-17-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 345311-18-4P 345311-63-9P 345311-64-0P 345311-65-1P 345311-66-2P
345311-67-3P 345311-68-4P 345311-76-4P 345311-77-5P 345317-02-4P
345317-04-6P 345317-55-7P 345317-57-9P 345643-18-7P 345643-19-8P
345643-20-1P 345643-21-2P 345643-22-3P 345643-23-4P 345643-24-5P
345643-25-6P 345643-26-7P 345643-27-8P 345643-28-9P 345643-29-0P
345643-30-3P 345643-31-4P 345643-32-5P 345643-33-6P 345643-34-7P
345643-35-8P 345643-36-9P 345643-37-0P 345643-38-1P 345643-39-2P
345643-40-5P 345643-41-6P 345643-42-7P 345643-43-8P 345643-44-9P
345643-45-0P 345643-46-1P 345643-47-2P 345643-48-3P 345643-49-4P
345643-50-7P 345643-51-8P 345643-52-9P 345643-53-0P 345643-54-1P
345643-55-2P 345643-56-3P 345643-57-4P 345643-58-5P 345643-59-6P
345643-60-9P 345643-61-0P 345643-62-1P 345643-63-2P 345643-64-3P
345643-65-4P 345643-66-5P 345643-67-6P 345643-68-7P 345643-69-8P
345643-70-1P 345643-71-2P 345643-72-3P 345643-73-4P 345643-74-5P
345643-75-6P 345643-76-7P 345643-77-8P 345643-78-9P 345643-79-0P
345643-80-3P 345643-81-4P 345643-82-5P 345643-83-6P 345643-84-7P
345643-85-8P 345643-86-9P 345643-87-0P 345643-88-1P 345643-89-2P
345643-90-5P 345643-91-6P 345643-92-7P 345643-93-8P 345643-94-9P
345643-96-1P 345643-97-2P 345643-98-3P 345643-99-4P 345644-00-0P
345644-01-1P 345644-02-2P 345644-03-3P 345644-04-4P 345644-05-5P
345644-06-6P 345644-07-7P 345644-08-8P 345644-09-9P 345644-11-3P
345644-12-4P 345644-13-5P 345644-14-6P 345644-15-7P 345644-16-8P
345644-17-9P 345644-18-0P 345644-19-1P 345644-20-4P 345644-21-5P
345644-23-7P 345644-24-8P 345644-25-9P 345644-26-0P 345644-27-1P
345644-28-2P 345644-29-3P 345644-30-6P 345644-31-7P 345644-32-8P
345644-33-9P 345644-34-0P 345644-35-1P 345644-36-2P 345644-37-3P
345644-38-4P 345644-39-5P 345644-40-8P 345644-41-9P 345644-42-0P
345644-43-1P 345644-44-2P 345644-45-3P 345644-46-4P 345644-47-5P
345644-48-6P 345644-49-7P 345644-50-0P 345644-51-1P 345644-52-2P
345644-53-3P 345644-54-4P 345644-55-5P 345644-56-6P 345644-57-7P
345644-58-8P 345644-59-9P 345644-60-2P 345644-61-3P 345644-62-4P
345644-63-5P 345644-64-6P 345644-65-7P 345644-66-8P 345644-67-9P
345644-68-0P 345644-69-1P 345644-70-4P 345644-71-5P 345644-72-6P
345644-73-7P 345644-74-8P 345644-75-9P 345644-76-0P 345644-77-1P
345644-79-3P 345644-80-6P 345644-81-7P 345644-82-8P 345644-83-9P
345644-85-1P 345644-87-3P 345644-89-5P 345644-90-8P 345644-91-9P

345644-92-0P 345644-93-1P 345644-94-2P 345644-95-3P 345644-96-4P
345644-97-5P 345644-98-6P 345644-99-7P 345645-00-3P 345645-01-4P
345645-02-5P 345645-03-6P 345645-04-7P 345645-05-8P 345645-06-9P
345645-07-0P 345645-08-1P 345645-09-2P 345645-10-5P 345645-11-6P
345645-12-7P 345645-13-8P 345645-14-9P 345645-18-3P 345645-19-4P
345645-20-7P 345645-21-8P 345645-22-9P 345645-23-0P 345645-24-1P
345645-25-2P 345645-26-3P 345645-27-4P 345645-28-5P 345645-29-6P
345645-30-9P 345645-31-0P 345645-32-1P 345645-33-2P 345645-34-3P
345645-35-4P 345645-36-5P 345645-37-6P 345645-38-7P 345645-39-8P
345645-40-1P 345645-41-2P 345645-42-3P 345645-43-4P 345645-44-5P
345645-50-3P 345645-54-7P 345645-55-8P 345645-56-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 345645-57-0P 345645-58-1P 345645-59-2P 345645-60-5P 345645-61-6P
345645-62-7P 345645-63-8P 345645-64-9P 345645-65-0P 345645-66-1P
345645-67-2P 345645-68-3P 345645-69-4P 345645-70-7P 345645-71-8P
345645-72-9P 345645-73-0P 345645-74-1P 345645-75-2P 345645-76-3P
345645-77-4P 345645-78-5P 345645-79-6P 345645-91-2P 345645-92-3P
345645-93-4P 345645-94-5P 345645-95-6P 345645-96-7P 345645-97-8P
345645-98-9P 345645-99-0P 345646-00-6P 345646-01-7P 345646-02-8P
345646-03-9P 345646-04-0P 345646-05-1P 345646-06-2P 345646-07-3P
345646-08-4P 345646-16-4P 345646-17-5P 345646-18-6P 345646-19-7P
345646-20-0P 345646-22-2P 345646-23-3P 345646-24-4P 345646-25-5P
345646-26-6P 345646-27-7P 345646-28-8P 345646-29-9P 345646-30-2P
345646-31-3P 345646-32-4P 345646-33-5P 345646-34-6P 345646-35-7P
345646-36-8P 345646-37-9P 345646-38-0P 345646-39-1P 345646-40-4P
345646-41-5P 345646-42-6P 345646-43-7P 345646-44-8P 345646-45-9P
345646-46-0P 345646-47-1P 345646-48-2P 345646-49-3P 345646-50-6P
345646-51-7P 345646-52-8P 345646-53-9P 345646-54-0P 345646-55-1P
345646-56-2P 345646-57-3P 345646-58-4P 345646-59-5P 345646-60-8P
345646-61-9P 345646-63-1P 345646-65-3P 345646-67-5P 345646-69-7P
345646-70-0P 345646-71-1P 345646-72-2P 345646-73-3P 345646-74-4P
345646-75-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 345311-93-5P 345646-78-8P 345646-80-2P
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **lipopeptides** as antibacterial agents)

IT 118-48-9, Isatoic anhydride 124-19-6, Nonaldehyde 459-57-4, 4-Fluorobenzaldehyde 540-63-6, 1,2-Ethanedithiol 556-61-6, Methyl isothiocyanate 613-30-9, 2-Methyl-6-nitroquinoline 1208-03-3 2338-71-8, 5-Fluoroindole-3-carboxaldehyde 2411-58-7, Undecyl isocyanate 2592-19-0 3011-34-5, 4-Hydroxy-3-nitrobenzaldehyde 3218-36-8, 4-Phenylbenzaldehyde 4106-18-7 4295-06-1, 4-Chloro-2-methylquinoline 5329-14-6, Sulfamic acid 10111-08-7, 2-Imidazolecarboxaldehyde 10601-19-1, 5-Methoxyindole-3-carboxaldehyde 13750-81-7, 1-Methyl-2-imidazolecarboxaldehyde 15160-31-3 84171-51-7 139122-41-1 171486-15-0 206113-74-8 345316-86-1 345646-77-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **lipopeptides** as antibacterial agents)

IT 28615-67-0P 59500-67-3P 166438-88-6P 175136-18-2P 345311-94-6P
345311-95-7P 345316-93-0P 345317-60-4P 345646-76-6P 345646-79-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of **lipopeptides** as antibacterial agents)

IT 103060-53-3, Daptomycin
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

IT 54-85-3, Isoniazid 56-75-7, Chloramphenicol 58-14-0, Pyrimethamine 61-32-5, Methicillin 61-33-6, biological studies 65-49-6, Paraaminosalicylic acid 68-41-7, Cycloserine 74-55-5, Ethambutol 98-96-4, Pyrazinamide 104-06-3, Thiacetazone 154-21-2, Lincomycin 303-81-1, Novobiocin 443-48-1, Metronidazole 536-33-4, Ethionamide 587-23-5, Methenamine mandelate 738-70-5, Trimethoprim 751-94-0, Fusidate sodium 1403-66-3, Gentamicin 1404-90-6, Vancomycin 1405-87-4, Bacitracin 1405-97-6, Gramicidin 1695-77-8, Spectinomycin 5714-73-8, Methenamine hippurate 11003-38-6, Capreomycin 12650-69-0, Mupirocin 14222-60-7, Prothionamide 15318-45-3, Thiamphenicol 18323-44-9, Clindamycin 23155-02-4, Fosfomycin 32988-50-4, Viomycin 37517-28-5, Amikacin 56391-56-1, Netilmicin 61036-62-2, Teicoplanin 64221-86-9, Imipenem 65243-33-6 73090-70-7, Epiroprim 73384-59-5, Ceftriaxone 78110-38-0, Aztreonam 84957-29-9, Cefpirome 87238-52-6 87638-04-8, Carumonam 109545-84-8, Ziracin 111452-88-1 113359-04-9, Cefozopran 116853-25-9, Cefluprenam 120410-24-4, Biapenem 120788-07-0, Sulopenem 122841-10-5, Cefoselis 124412-57-3, Dynemicin A 126602-89-9, Synercid 128104-18-7, Mersacidin 129791-92-0, Rifalazil 129951-17-3, DU 6681 133686-28-9, KP 736 138126-04-2, BO 2502A 139637-11-9, PR 39 141611-76-9, Sanfetrinem sodium 141646-08-4, Sanfetrinem-cilexetil 143158-16-1, PD 138312 143383-20-4 145260-69-1, CP 111905 147214-63-9, Cyclothialidine 149137-72-4 149951-16-6, Lenapenem 157542-49-9, CS-834 158295-97-7 161856-02-6, OCA-983 165800-03-3, Linezolid 171099-57-3, LY333328 176950-36-0, Micacocidin A 186319-97-1, ER 35786 191114-48-4, HMR3647 194804-75-6, T 3811 195874-55-6, MEN 10700 205925-96-8 224452-66-8, SB 275833 252188-71-9 345631-66-5, Eveminomycin 345631-69-8, CL 331022 345631-70-1, KA 159 345631-86-9, GV 143253 345631-92-7, A 99058.1 345631-93-8, A 165600 345631-94-9, A 179796 345631-96-1, HGP 31 345631-97-2, RU 59863 345631-98-3, Kosan 345631-99-4, AM 1732 345632-00-0, NE 1530 345632-01-1, OPC 20000 345632-02-2, OPC 2045 345632-44-2, Veneprim 345632-48-6, SEP 132613 345632-68-0, SR 15402 345632-69-1, SUN-A 0026
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of **lipopeptides** as antibacterial agents)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:453090 HCAPLUS
DOCUMENT NUMBER: 135:61554
TITLE: Preparation of novel **lipopeptides** as antibacterial agents
INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; **Keith, Dennis**; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001044272	A2	20010621	WO 2000-US34118	20001215
WO 2001044272	A3	20011129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002025924	A1	20020228	US 2000-738742	20001215
EP 1240181	A2	20020918	EP 2000-986444	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017026	A	20030107	BR 2000-17026	20001215
JP 2003517004	T2	20030520	JP 2001-544761	20001215
NO 2002002888	A	20020802	NO 2002-2888	20020617
ZA 2002005106	A	20030925	ZA 2002-5106	20020625
PRIORITY APPLN. INFO.:			US 1999-170943P P	19991215
			WO 2000-US34118 W	20001215

OTHER SOURCE(S): MARPAT 135:61554
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB **Lipopeptides** I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl (with provisos); R1 is defined similarly to R; R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, daptomycin was Boc-protected, deacylated using deacylase enzyme, and reacted with octyl isocyanate to give I [R = NHCONH(CH2)7Me, R1 = NH2, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) > 1 ≤ 10 μg/mL mg/kg.

IC ICM C07K007-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 10
ST **lipopeptide** prepn antibacterial
IT Antibiotics
(glycylcylclines; preparation of novel **lipopeptides** as antibacterial agents)
IT Antibacterial agents
Antimicrobial agents
Enterococcus faecalis
Staphylococcus aureus
(preparation of novel **lipopeptides** as antibacterial agents)
IT **Lipopeptides**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345311-13-9P
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345311-15-1P 345311-16-2P 345311-17-3P 345311-18-4P 345311-19-5P
345311-20-8P 345311-21-9P 345311-22-0P 345311-23-1P 345311-24-2P
345311-25-3P 345311-27-5P 345311-28-6P 345311-29-7P 345311-30-0P
345311-31-1P 345311-32-2P 345311-34-4P 345311-35-5P 345311-36-6P
345311-40-2P 345311-41-3P 345311-42-4P 345311-43-5P 345311-48-0P
345311-49-1P 345311-58-2P 345311-59-3P 345311-60-6P 345311-61-7P
345311-62-8P 345311-69-5P 345311-78-6P 345311-79-7P 345311-84-4P
345311-85-5P 345311-86-6P
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345311-14-0P 345311-47-9P 345311-73-1P 345311-80-0P 345311-82-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345311-26-4P 345311-33-3P 345311-37-7P 345311-38-8P 345311-39-9P
345311-44-6P 345311-45-7P 345311-46-8P 345311-50-4P 345311-51-5P
345311-52-6P 345311-53-7P 345311-54-8P 345311-55-9P 345311-56-0P
345311-57-1P 345311-63-9P 345311-64-0P 345311-65-1P 345311-66-2P
345311-67-3P 345311-68-4P 345311-70-8P 345311-71-9P 345311-72-0P
345311-74-2P 345311-75-3P 345311-76-4P 345311-77-5P 345311-81-1P
345311-83-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 119759-24-9P 345311-93-5P
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345311-94-6P 345311-95-7P 345311-96-8P
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 124-19-6, Nonaldehyde 540-63-6, 1,2-Ethanedithiol 1191-69-1, Decyl isocyanate 2411-58-7, Undecyl isocyanate 3158-26-7, Octyl isocyanate 4184-73-0, Nonyl isocyanate 4202-38-4, Dodecyl isocyanate 10111-08-7, 2-Imidazolecarboxaldehyde 15160-31-3 103060-53-3, Daptomycin 345311-88-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 10601-19-1P, 5-Methoxyindole-3-carboxaldehyde 345311-87-7P
345311-89-9P 345311-90-2P 345311-91-3P 345311-92-4P 345311-97-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of novel **lipopeptides** as antibacterial agents)
IT 54-85-3, Isoniazid 56-75-7, Chloramphenicol 58-14-0, Pyrimethamine 61-32-5, Methicillin 61-33-6, biological studies 65-49-6, Paraaminosalicylic acid 68-41-7, Cycloserine 74-55-5, Ethambutol 98-96-4, Pyrazinamide 104-06-3, Thiacetazone 154-21-2, Lincomycin 303-81-1, Novobiocin 443-48-1, Metronidazole 536-33-4, Ethionamide 587-23-5, Methenamine mandelate 738-70-5, Trimethoprim 751-94-0, Fusidate sodium 1403-66-3, Gentamicin 1404-90-6, Vancomycin 1405-87-4, Bacitracin 1405-97-6, Gramicidin 1695-77-8, Spectinomycin 5714-73-8, Methenamine hippurate 11003-38-6, Capreomycin 12650-69-0, Mupirocin 14222-60-7, Prothionamide 15318-45-3, Thiamphenicol 18323-44-9, Clindamycin 23155-02-4, Fosfomycin 32988-50-4, Viomycin 37517-28-5, Amikacin 56391-56-1, Netilmicin 61036-62-2, Teicoplanin 64221-86-9, Imipenem 65243-33-6 73090-70-7, Epiroprim 73384-59-5, Ceftriaxone 78110-38-0, Aztreonam 84957-29-9, Cefpirome 87638-04-8, Carumonam 99376-22-4, Ritipenem acoxyl 109545-84-8, Ziracin 111452-88-1 113359-04-9, Cefozopran 116853-25-9, Cefluprenam 120410-24-4, Biapenem 120788-07-0, Sulopenem 122841-10-5, Cefoselis 124412-57-3, Dynemicin A 126602-89-9, Synercid 128104-18-7, Mersacidin 129791-92-0, Rifalazil 129951-17-3, DU 6681 133686-28-9, KP 736 138126-04-2, BO 2502A 139637-11-9, PR 39 141611-76-9, Sanfetrinem sodium 141646-08-4, Sanfetrinem-cilexetil 143158-16-1, PD 138312 143383-20-4 145260-69-1, CP 111905 147214-63-9, Cyclothialidine 149137-72-4 149951-16-6, Lenapenem 157542-49-9, CS-834 158295-97-7, TOC 39 161856-02-6, OCA-983 165800-03-3, Linezolid 171099-57-3, LY333328 176950-36-0, Micacocidin A 186319-97-1, ER 35786 191114-48-4, HMR3647 194804-75-6, T 3811 195874-55-6, MEN 10700 205925-96-8, Sch 40832 224452-66-8, SB 275833 252188-71-9, Ro 65-5788 345631-66-5, Eveminomycin 345631-69-8, CL 331022 345631-70-1, KA 159 345631-86-9, GV 143253 345631-92-7, A 99058.1 345631-93-8, A 165600 345631-94-9, A 179796 345631-96-1, HGP 31 345631-97-2, RU 59863 345631-98-3, Kosan 345631-99-4, AM 1732 345632-00-0, NE 1530 345632-01-1, OPC 20000 345632-02-2, OPC 2045 345632-44-2, Veneprim 345632-48-6, SEP 132613 345632-68-0 345632-69-1, SUN-A 0026
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

L10 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:453089 HCAPLUS
DOCUMENT NUMBER: 135:61553
TITLE: Preparation of novel **lipopeptides** as antibacterial agents
INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; **Keith, Dennis**; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044271	A2	20010621	WO 2000-US34051	20001215
WO 2001044271	A3	20020307		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002058785	A1	20020516	US 2000-739535		20001215
US 6794490	B2	20040921			
EP 1240182	A2	20020918	EP 2000-991409		20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2000017028	A	20030107	BR 2000-17028		20001215
JP 2003517003	T2	20030520	JP 2001-544760		20001215
NO 2002002886	A	20020802	NO 2002-2886		20020617
ZA 2002005113	A	20030925	ZA 2002-5113		20020625
PRIORITY APPLN. INFO.:			US 1999-170945P	P	19991215
			WO 2000-US34051	W	20001215

OTHER SOURCE(S): MARPAT 135:61553
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB **Lipopeptides** I [R and R1 are -N(B)(X)n-A; B is X'RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl,heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively, B and A together form a 5-7 membered heterocyclic or heteroaryl ring; R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, sulfamic acid (89.9 mg) and sodium nitrite (51.1 mg) were added to a solution of daptomycin (1 g) in 0.1 M HCl (31 mL) at 0°. Aqueous potassium O-ethylxanthic acid (497 mg) was added and the mixture was heated at 60° for 1 h to afford I [R = NHCO(CH2)8Me, R1 = NH2, R2 = CH2CO-o-C6H4SC(S)OEt], which showed MIC (S. Aureus and E. faecalis) and ED50 > 1 ≤ 10 μg/mL or mg/kg, resp.

IC ICM C07K007-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 10
ST **lipopeptide** prepn antibacterial
IT Antibiotics
(glycylcylclines; preparation of novel **lipopeptides** as antibacterial agents)
IT Antibacterial agents
(preparation of novel **lipopeptides** as antibacterial agents)
IT **Lipopeptides**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel **lipopeptides** as antibacterial agents)

IT 345316-86-1P 345316-93-0P 345317-57-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345316-89-4P 345316-91-8P 345316-92-9P 345316-94-1P 345316-95-2P 345316-98-5P 345317-00-2P 345317-02-4P 345317-04-6P 345317-55-7P 345317-56-8P 345317-58-0P 345317-59-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 140-89-6 3144-16-9, Camphorsulfonic acid 5329-14-6, Sulfamic acid 10328-92-4, n-Methylisatoic acid anhydride 15160-31-3 84171-51-7 103060-53-3, Daptomycin 113807-07-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 345317-60-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel **lipopeptides** as antibacterial agents)

IT 54-85-3, Isoniazid 56-75-7, Chloramphenicol 58-14-0, Pyrimethamine 61-32-5, Methicillin 61-33-6, biological studies 65-49-6, Paraaminosalicylic acid 68-41-7, Cycloserine 74-55-5, Ethambutol 98-96-4, Pyrazinamide 104-06-3, Thiacetazone 154-21-2, Lincomycin 303-81-1, Novobiocin 443-48-1, Metronidazole 536-33-4, Ethionamide 587-23-5, Methenamine mandelate 738-70-5, Trimethoprim 751-94-0, Fusidate sodium 1403-66-3, Gentamicin 1404-90-6, Vancomycin 1405-87-4, Bacitracin 1405-97-6, Gramicidin 1695-77-8, Spectinomycin 5714-73-8, Methenamine hippurate 11003-38-6, Capreomycin 12650-69-0, Mupirocin 14222-60-7, Prothionamide 15318-45-3, Thiamphenicol 18323-44-9, Clindamycin 23155-02-4, Fosfomycin 32988-50-4, Viomycin 37517-28-5, Amikacin 56391-56-1, Netilmicin 61036-62-2, Teicoplanin 64221-86-9, Imipenem 65243-33-6 73090-70-7, Epiroprim 73384-59-5, Ceftriaxone 78110-38-0, Aztreonam 84957-29-9, Cefpirome 87238-52-6 87638-04-8, Carumonam 109545-84-8, Ziracin 111452-88-1 113359-04-9, Cefozopran 116853-25-9, Cefluprenam 120410-24-4, Biapenem 120788-07-0, Sulopenem 122841-10-5, Cefoselis 124412-57-3, Dynemicin A 126602-89-9, Synercid 128104-18-7, Mersacidin 129791-92-0, Rifalazil 129951-17-3, DU 6681 133686-28-9, KP 736 138126-04-2, BO 2502A 139637-11-9, PR 39 141611-76-9, Sanfetrinem sodium 141646-08-4, Sanfetrinem-cilexetil 143158-16-1, PD 138312 143383-20-4 145260-69-1, CP 111905 147214-63-9, Cyclothialidine 149137-72-4 149951-16-6, Lenapenem 157542-49-9, CS-834 158295-97-7 161856-02-6, OCA-983 165800-03-3, Linezolid 171099-57-3, LY333328 176950-36-0, Micacocidin A 186319-97-1, ER 35786 191114-48-4, HMR3647 194804-75-6, T 3811 195874-55-6, MEN 10700 205925-96-8 224452-66-8, SB 275833 252188-71-9 345631-66-5, Eveminomycin 345631-69-8, CL 331022 345631-70-1, KA 159 345631-86-9, GV 143253 345631-92-7, A 99058.1 345631-93-8, A 165600 345631-94-9, A 179796 345631-96-1, HGP 31 345631-97-2, RU 59863 345631-98-3, Kosan 345631-99-4, AM 1732 345632-00-0, NE 1530 345632-01-1, OPC 20000 345632-02-2, OPC 2045 345632-44-2, Veneprim 345632-48-6, SEP 132613 345632-68-0 345632-69-1, SUN-A 0026
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of novel **lipopeptides** as antibacterial agents)